Access DB# 147837

SEARCH REQUEST FORM
(STIC)

Requestor's Name: David Lukton Examiner number: 71263 Date: 3/15/05

Art Unit: 1653 Phone number: 571-272-0952 Serial Number: 10-825038

Mail Box: 3-C-70 Examiner Rm: 3-B-75 Results format: paper

****

Title of Invention: Intermediate for Preparing Glycopeptide Derivatives

Applicant: LINSELL, MARTIN S.

Earliest Priority Date: 12/23/98

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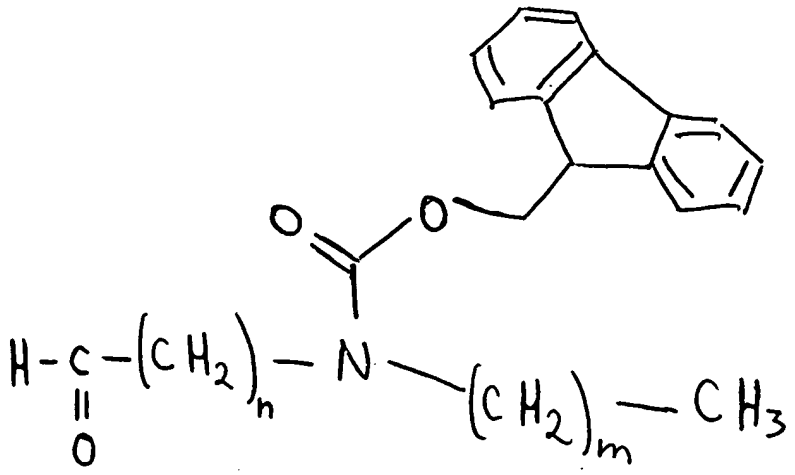
Applicants are claiming the compounds below.

"n" is an integer of 1 or 2

"m" is an integer of 6-10



STAFF USE ONLY

Searcher: _____

Type of Search

NA Sequence (#)_____

Vendors and cost where applicable

STN _____

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I compd from Reg.

2 hits from CAPlus

inventor search

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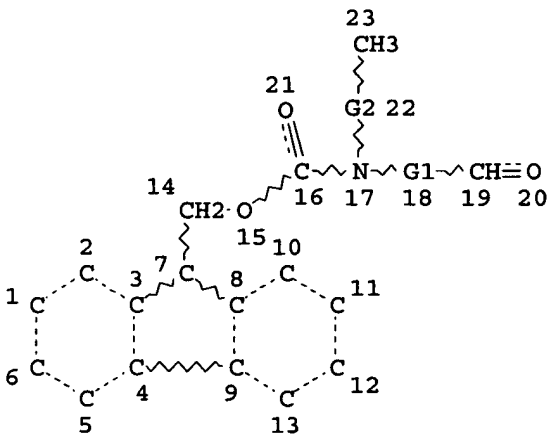


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NUMBER OF NODES IS  23

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L5 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:755837 HCAPLUS
DOCUMENT NUMBER: 131:322927
TITLE: Preparation of vancomycin-related antibacterial agents
INVENTOR(S): Chen, Qi Qi; Griffin, John H.; Jenkins, Thomas E.; Judice, J. Kevin; Linsell, Martin S.; Leadbetter, Michael R.
PATENT ASSIGNEE(S): Advanced Medicine Inc., USA
SOURCE: Fr. Demande, 193 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
FR 2778184	A1	19991105	FR 1999-2172		19990222 <--
US 6518242	B1	20030211	US 1999-253670		19990219 <--
ZA 9901412	A	20000822	ZA 1999-1412		19990222 <--
IT 1307018	B1	20011023	IT 1999-TO134		19990222 <--
PRIORITY APPLN. INFO.:			US 1998-75514P	P	19980220 <--
			US 1998-78903P	P	19980320 <--
			US 1998-82209P	P	19980417 <--
			US 1999-119162P	P	19990208

AB Novel antibacterial agents that act as multi-binding agents, LpXq [L is a ligand such as an optionally substituted glycopeptide, e.g., vancomycin; X is a linker, e.g., NHR6NHCOR7CONHR8NH (R6, R7, R8 are optionally substituted alkylene); p = 2-10; q = 1-20], are disclosed. The compds. of the invention are capable of binding to a transglycosylase enzyme substrate, thereby modulating their biol. processes/functions. Thus, [C-C]-[pentane-1,5-dioic acid bis(2-aminoethyl)amide]bis(vancomycin) was prepared by condensation of vancomycin hydrochloride with pentanedioic acid bis(2-aminoethyl)amide and used to prepare pharmaceutical formulations. The compds. of the invention showed a broad spectrum of antibacterial activity.

L5 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:549285 HCAPLUS
DOCUMENT NUMBER: 131:170642
TITLE: Preparation of vancomycin-related antibacterial agents
INVENTOR(S): Chon, Qi-Qi; Griffin, John H.; Jenkins, Thomas E.; Judice, J. Kevin; Linsell, Martin S.
PATENT ASSIGNEE(S): Advanced Medicine, Inc., USA
SOURCE: PCT Int. Appl., 174 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9942476	A1	19990826	WO 1999-US3850	19990222 <--
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            CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
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                                          US 1999-119162P     P   19990208
                                          WO 1999-US3850      W   19990222
OTHER SOURCE(S):        MARPAT 131:170642
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AB Novel antibacterial agents that act as multibinding agents, LpXq [L is a ligand such as an optionally substituted glycopeptide, e.g., vancomycin; X is a linker, e.g., NHR6NHCOR7CONHR8NH (R6, R7, R8 are optionally substituted alkylene); p = 2-10; q = 1-20], are disclosed. The compds. of the invention are capable of binding to a transglycosylase enzyme substrate, thereby modulating their biol. processes/functions. Thus, [C-C]-[pentane-1,5-dioic acid bis(2-aminoethyl)amide]bis(vancomycin) was prepared by condensation of vancomycin hydrochloride with pentanedioic acid bis(2-aminoethyl)amide and used to prepare pharmaceutical formulations. The compds. of the invention showed a broad spectrum of antibacterial activity.

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REFERENCE COUNT:        4     THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS
                              RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
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Inventor Search

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L10 ANSWER 1 OF 7 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:58212 HCAPLUS
DOCUMENT NUMBER: 142:134930
TITLE: Preparation of cross-linked **glycopeptide** -cephalosporin antibiotics
INVENTOR(S): Fatheree, Paul R.; **Linsell, Martin S.**; Marquess, Daniel; Trapp, Sean G.; Moran, Edmund J.; Aggen, James B.
PATENT ASSIGNEE(S): Theravance, Inc., USA
SOURCE: PCT Int. Appl., 53 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005005436	A2	20050120	WO 2004-US22319	20040709
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US 2005026818	A1	20050203	US 2004-888849	20040709
PRIORITY APPLN. INFO.:			US 2003-486484P	P 20030711

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention provides cross-linked **glycopeptide**-cephalosporin compds. I [R is fragment II; X1, X2 are independently H or Cl; W is N or CCl; R1, R2 are independently H or alkyl; R3 is alkyl, alkoxy, halo, alkylthio, alkylsulfinyl, alkylsulfonyl or alkoxysulfonyl which may be substituted by CO2H or F; one of R4 and R5 is H and the other is OH; R6, R7 are independently H or Me; R8 is H or 4-amino-3-hydroxy-2,4-dimethyltetrahydro-2H-pyran-2-yl; R9 is H or (cyclo)alkyl which may be substituted by CO2H or 1-3 F atoms; n is 0-3; X is -Ra(NRbCO-Rc)0-2(CONRb'CO-Rc')0-2-, where Ra is -Y-R''; R'' contains at most 20 non-hydrogen atoms and is defined as (un)substituted alkylene, alkenylene, alkynylene, cycloalkylene, arylene, heteroarylene or heterocyclyl; Y links R to the pyridinium ring at a meta or para position and is a direct bond, NR', O, S, CO, NR'CO or CONR' (R' is H or alkyl), precluding direct bonds between heteroatoms in Y and R ; Rb, Rb' are independently H, alkyl, alkenyl or alkynyl; Rc is independently -Y'-R''-Y'-, where each Y' is independently a direct bond, O or NR', precluding direct bonds between heteroatoms in Y' and R ; Rc' is a group defined by R''] and their pharmaceutically-acceptable salts which are useful as antibiotics. The invention also provides pharmaceutical compns., methods for treating

bacterial infections in a mammal, and processes and **intermediates** useful for preparing such compds. Thus, vancomycin hydrochloride was treated with ethylenediamine/formaldehyde and pyridinium lactam II (W is CCl, X is 4-CH2NH2, n is 0, R9 is Me) (prepared from an aminocephalosporonic ester) was amidated with adipic acid bis-HOAT ester. Coupling of the products afforded a **glycopeptide**-cephalosporin conjugate which showed MIC < 0.1 μg/mL for inhibition of methicillin-resistant and methicillin-susceptible S. aureus (vancomycin MIC = 2.0 and 1.0 μg/mL, resp.).

IT **827040-07-3P 827040-08-4P 827040-09-5P**
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827040-60-8P 827040-61-9P 827040-62-0P
827040-63-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of cross-linked **glycopeptide**-cephalosporin antibiotics)

RN 827040-07-3 HCAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.
Double bond geometry as shown.

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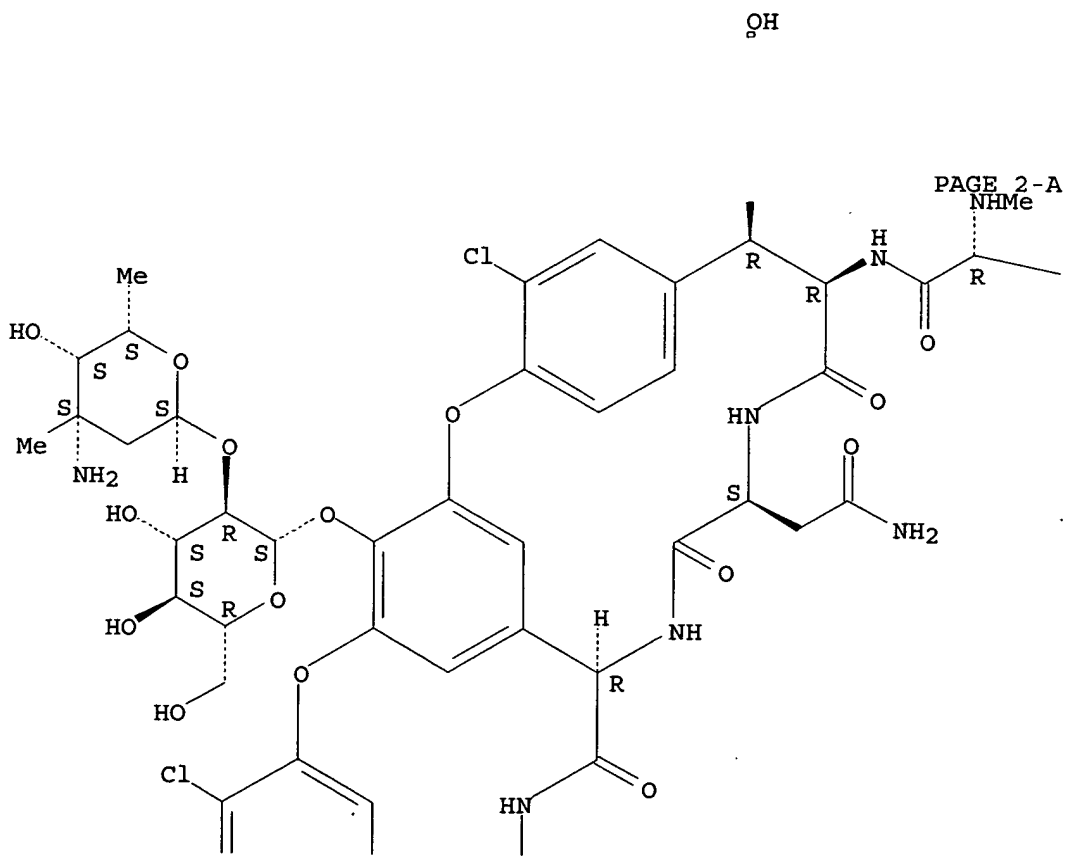

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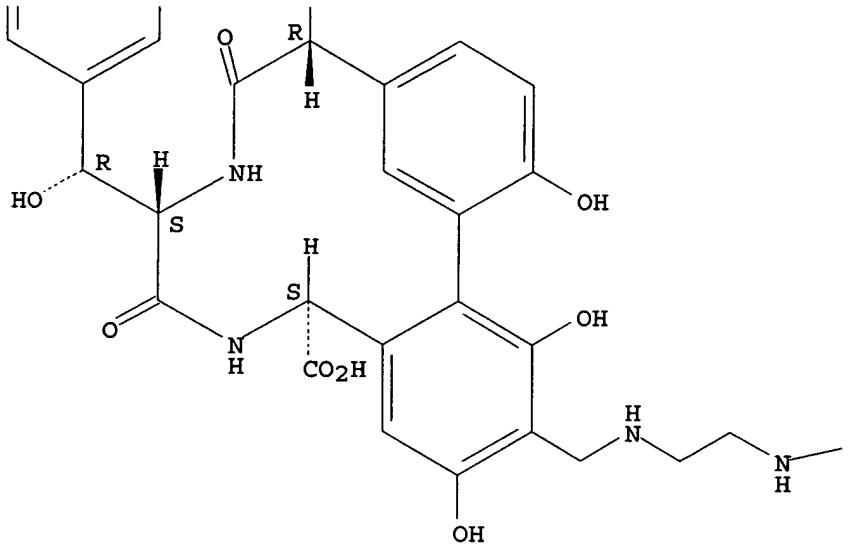
PAGE 3-A



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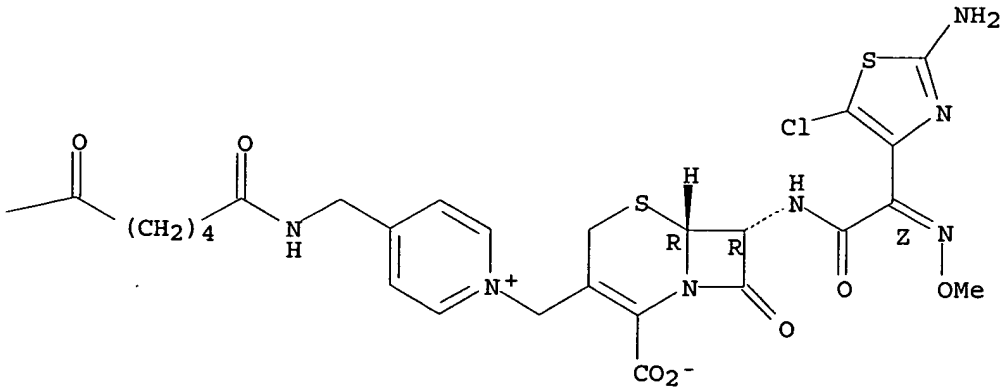

RN 827040-08-4 HCAPLUS

CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.
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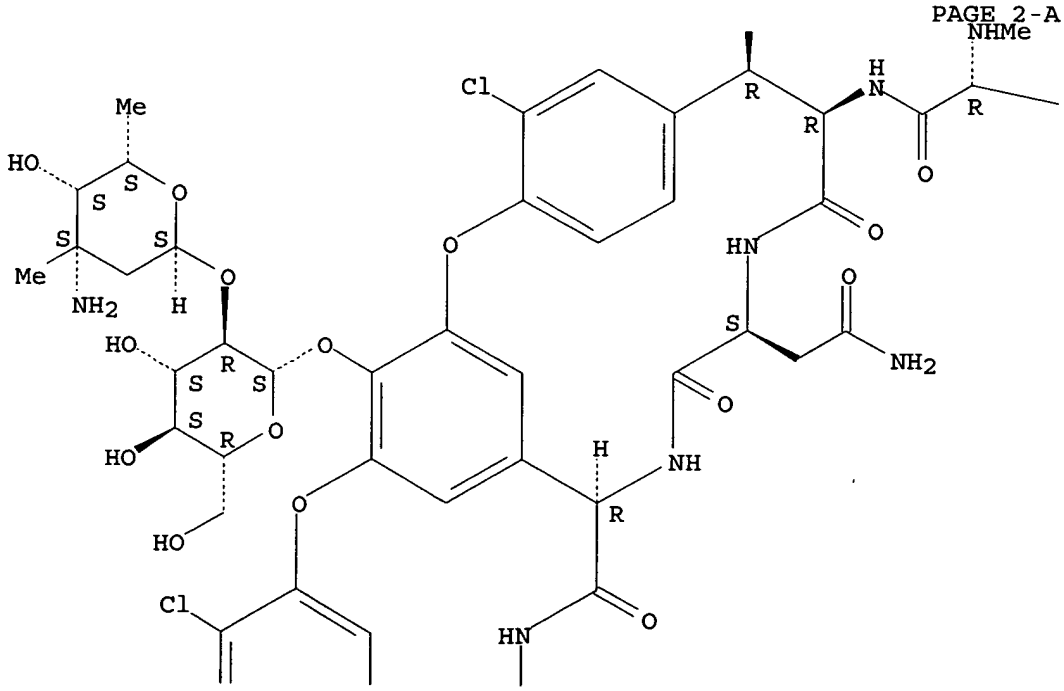
PAGE 2-A



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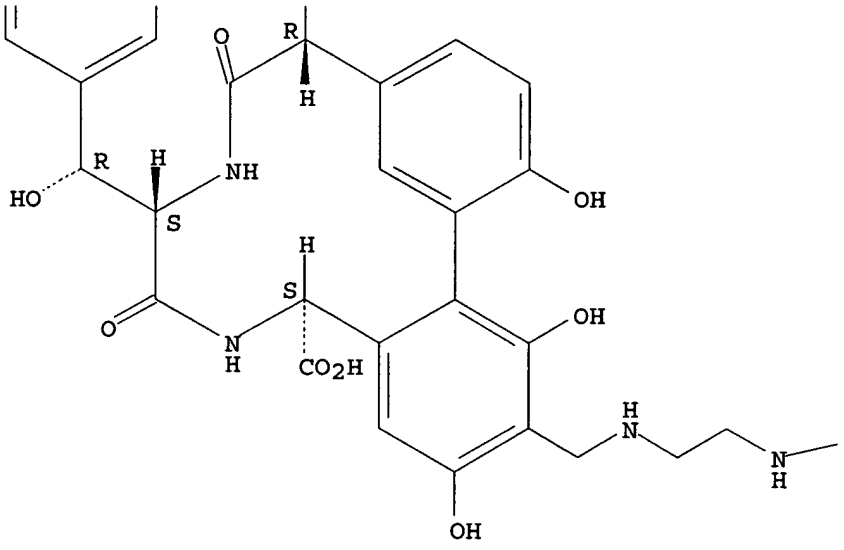

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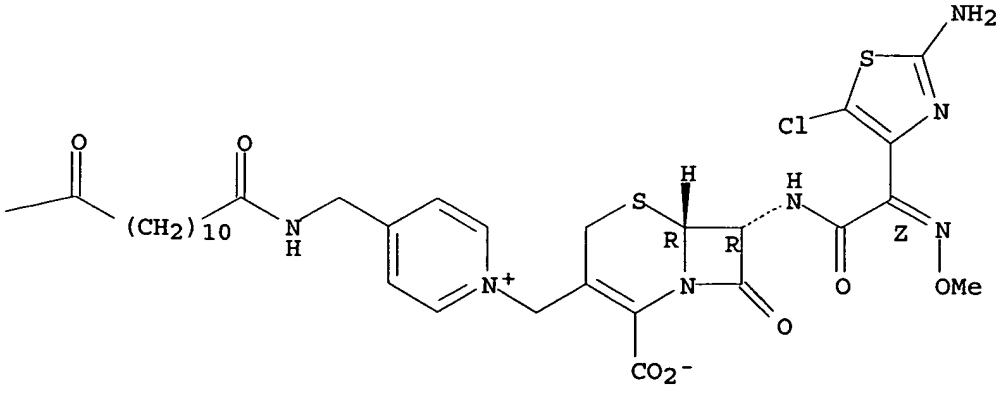

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CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.
Double bond geometry as shown.

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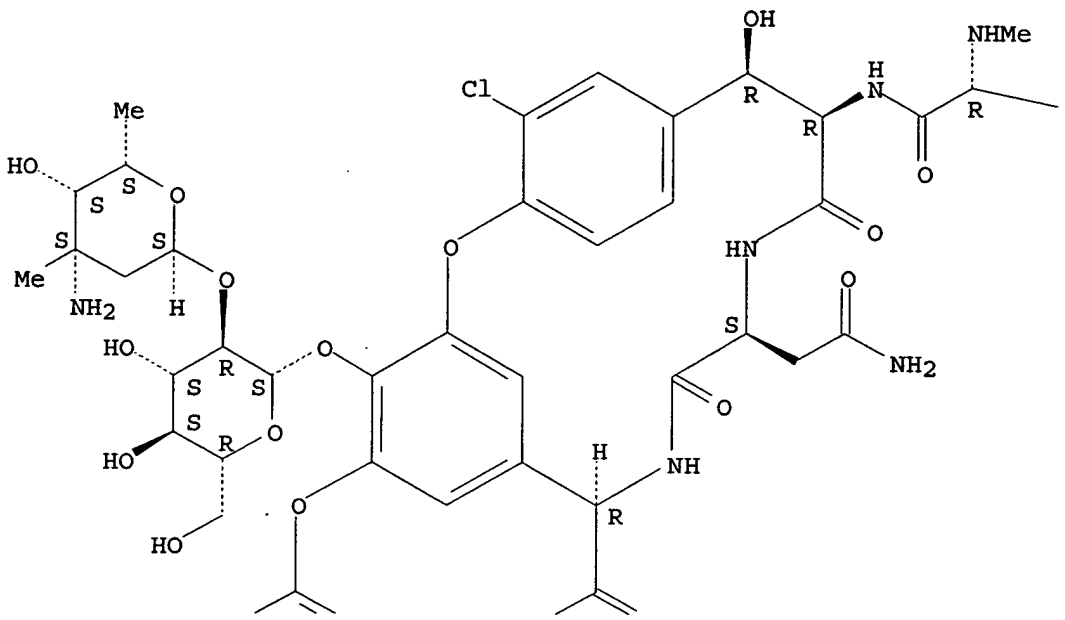

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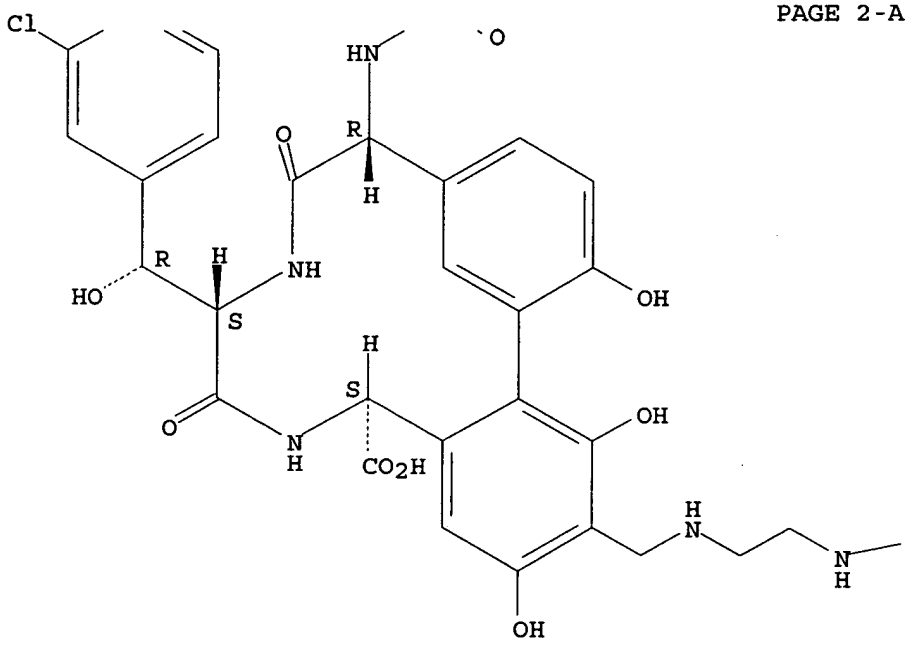

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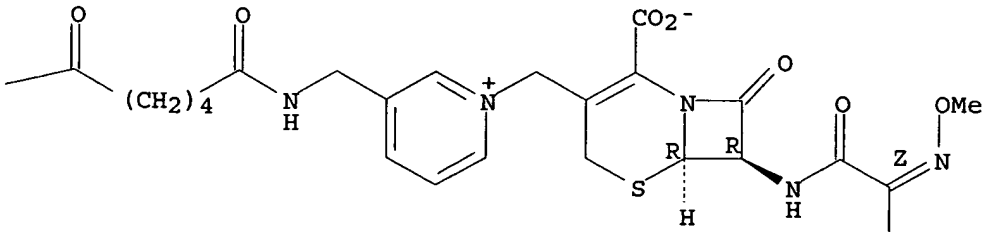

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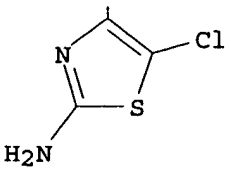

RN 827040-10-8 HCAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.
Double bond geometry as shown.

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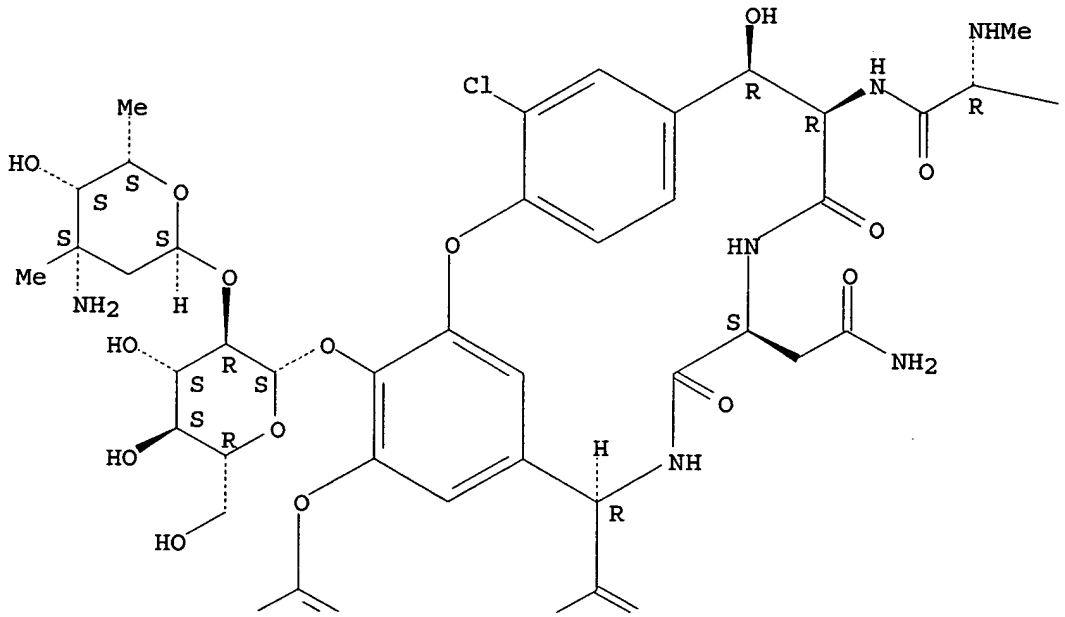

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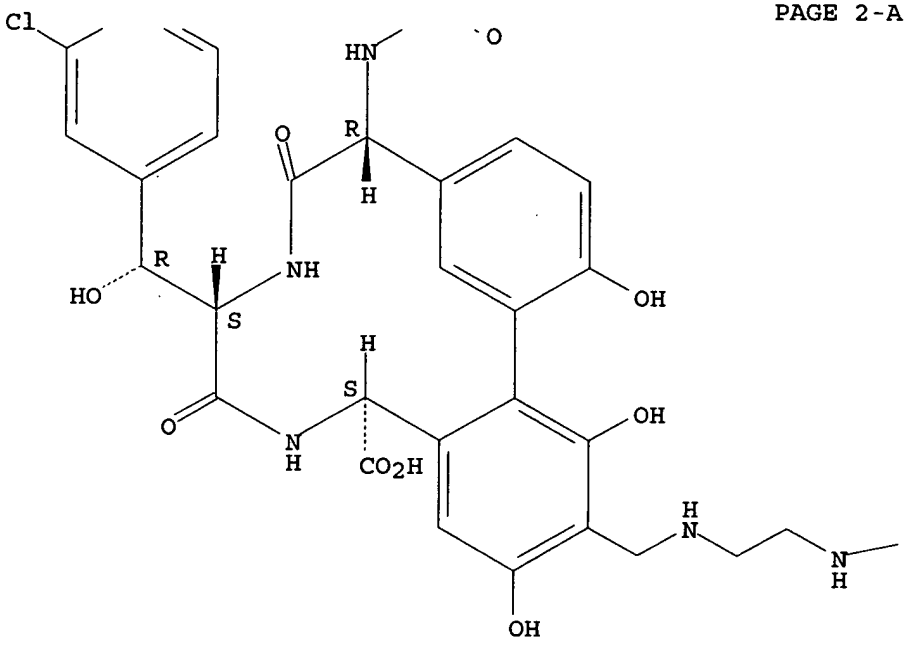

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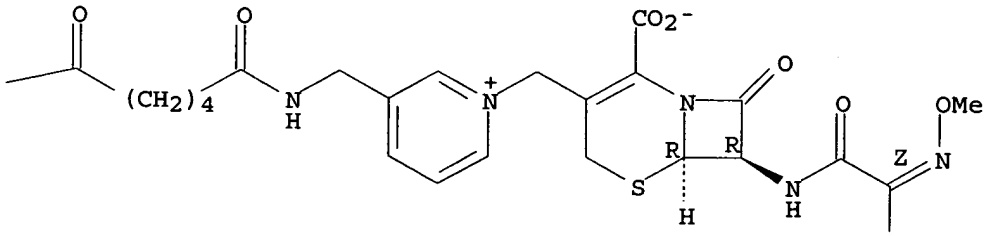

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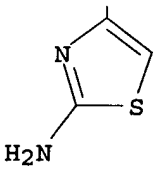

RN 827040-11-9 HCAPLUS
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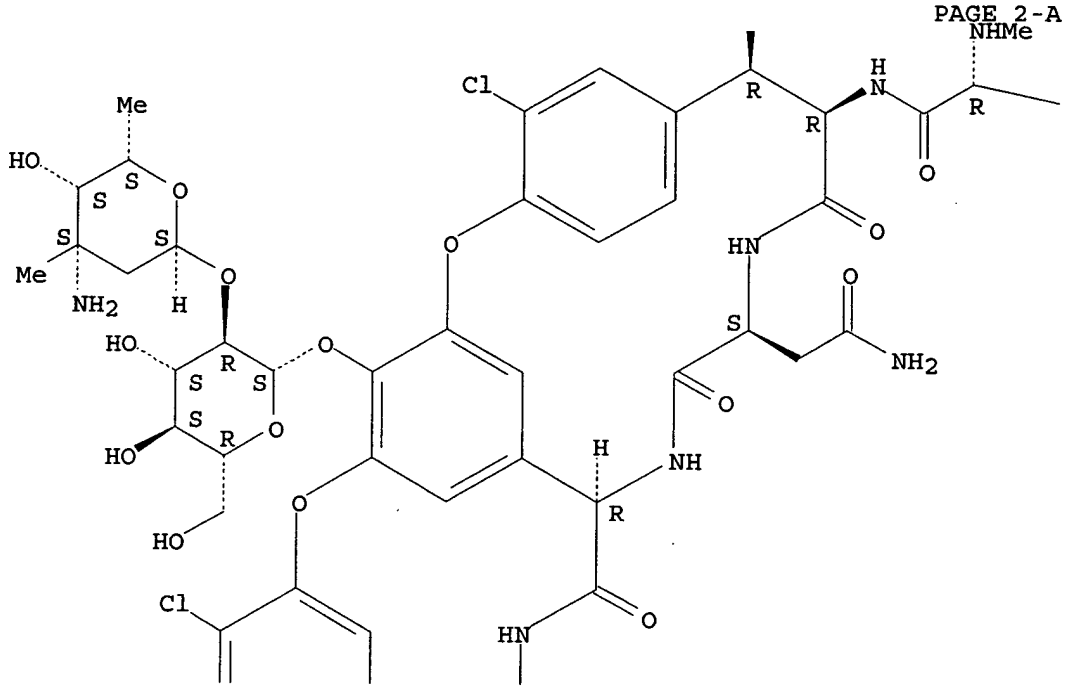

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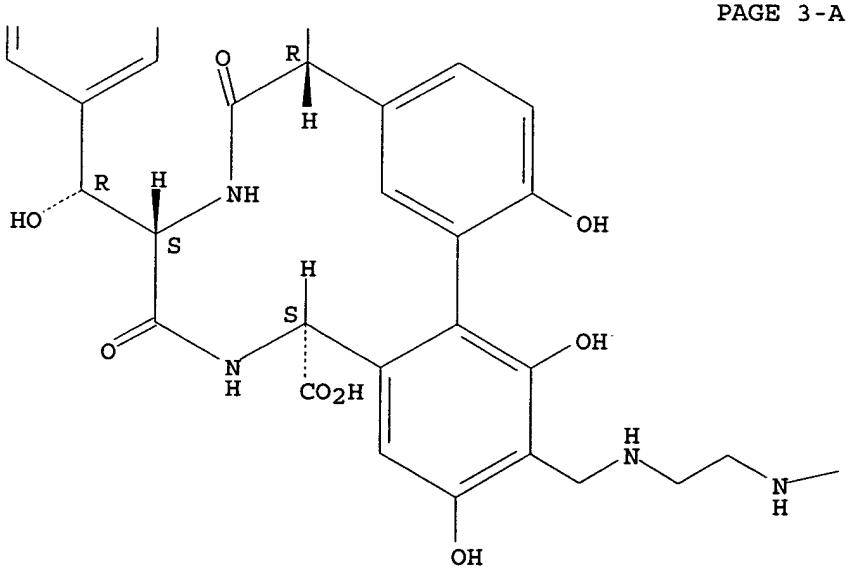

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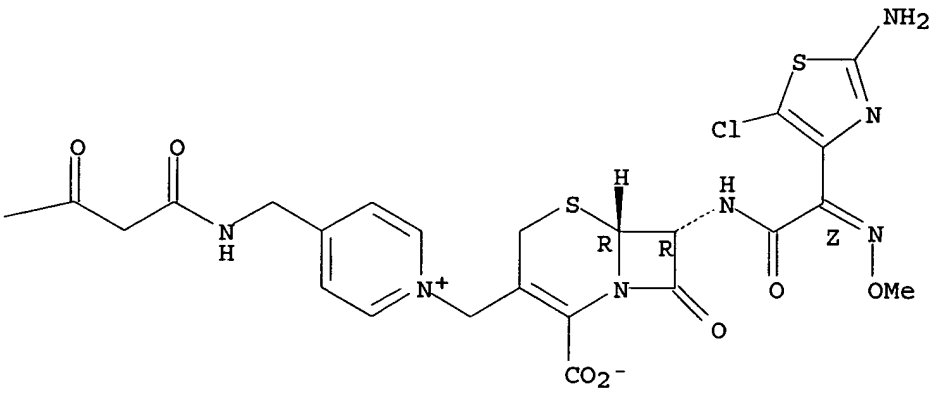

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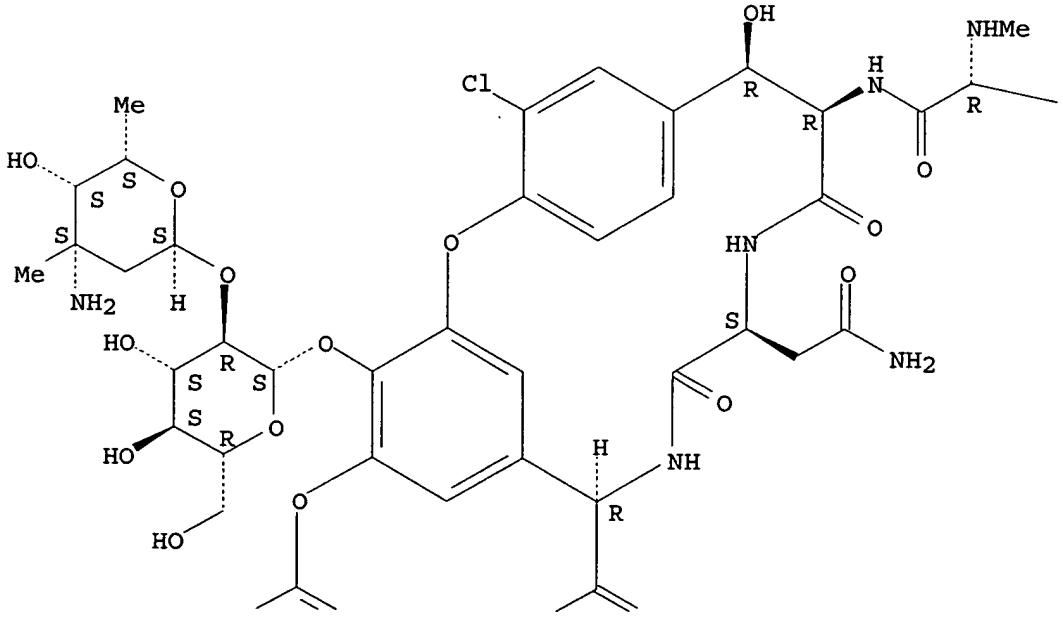

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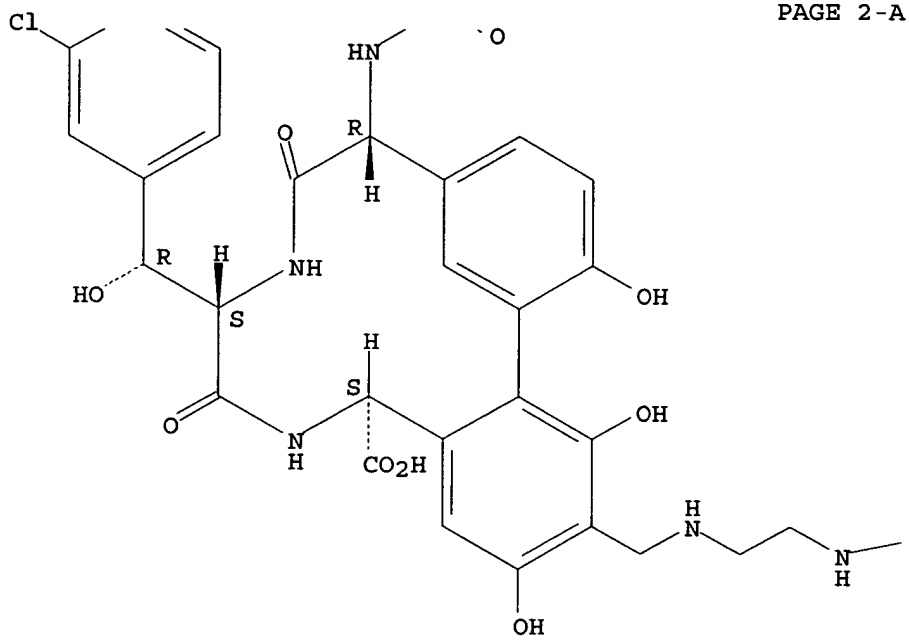

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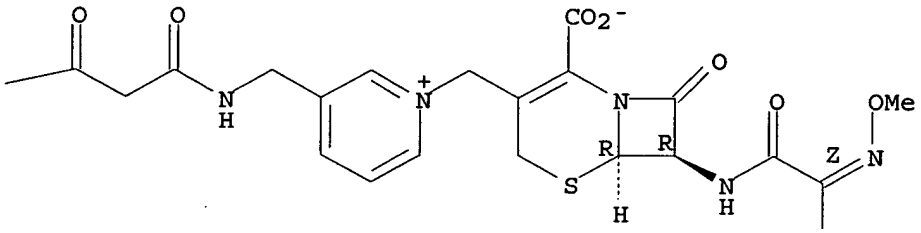

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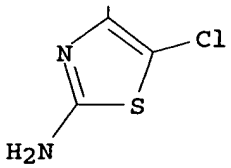

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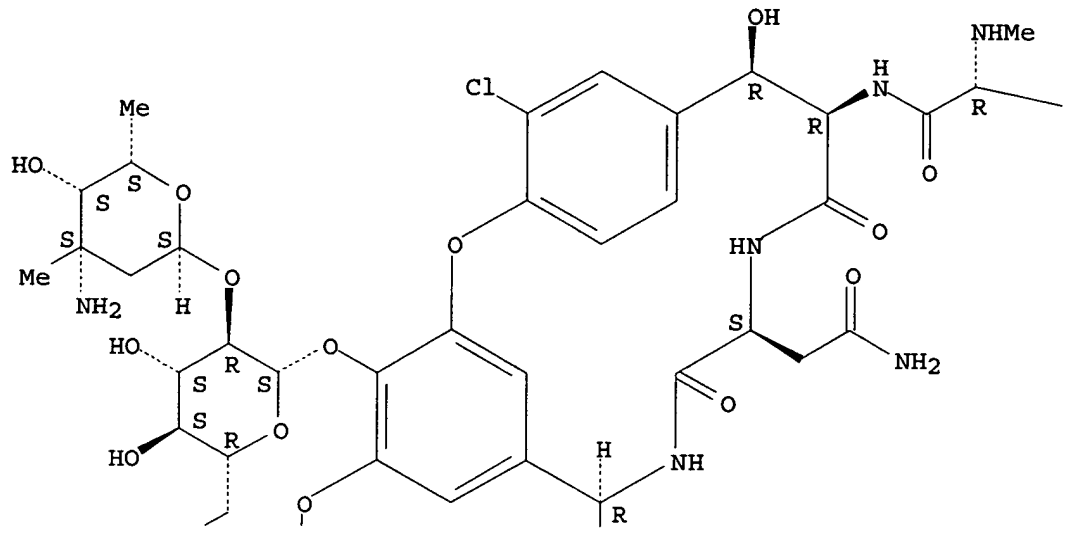

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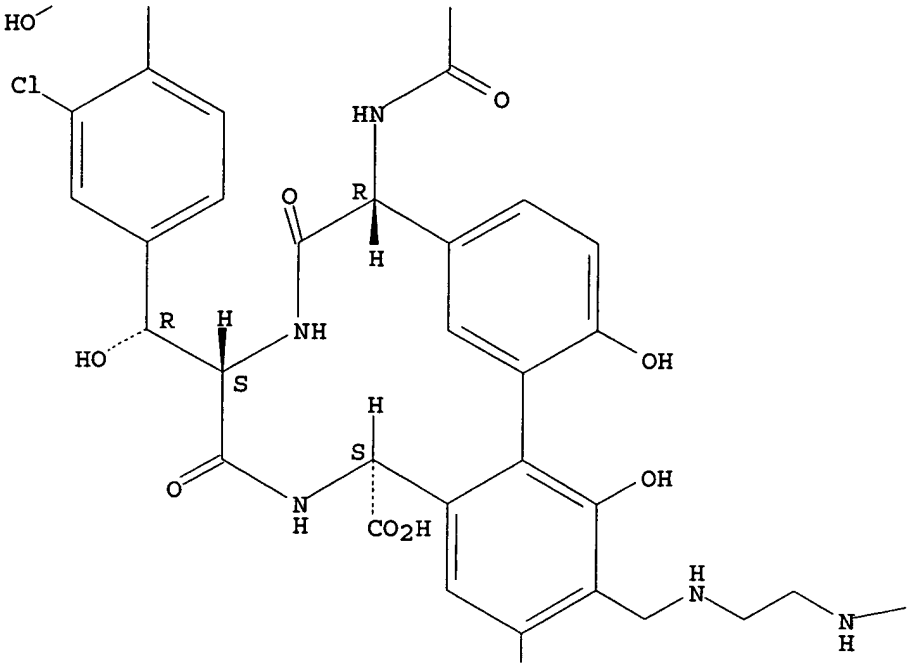

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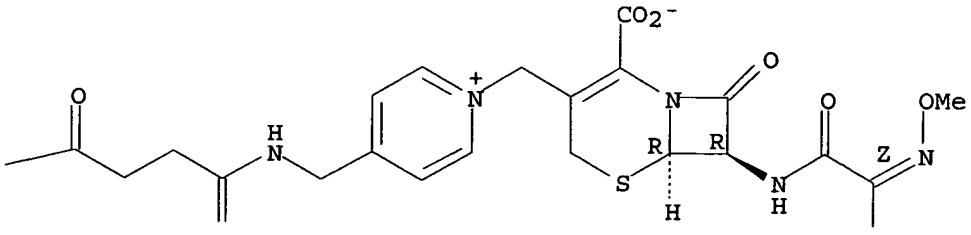

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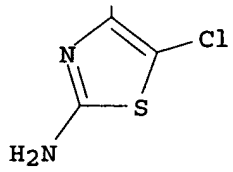
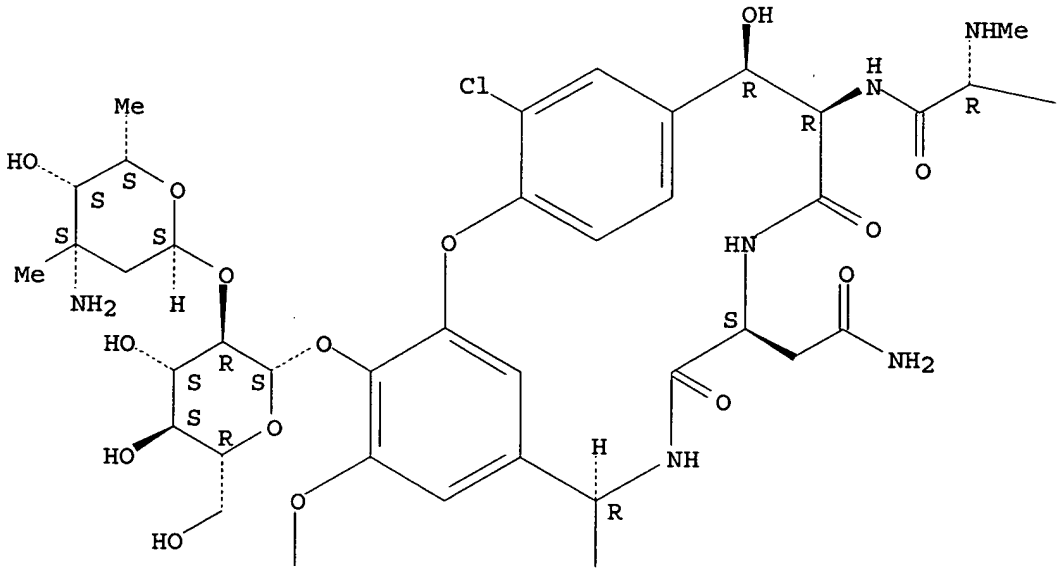


RN 827040-14-2 HCAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



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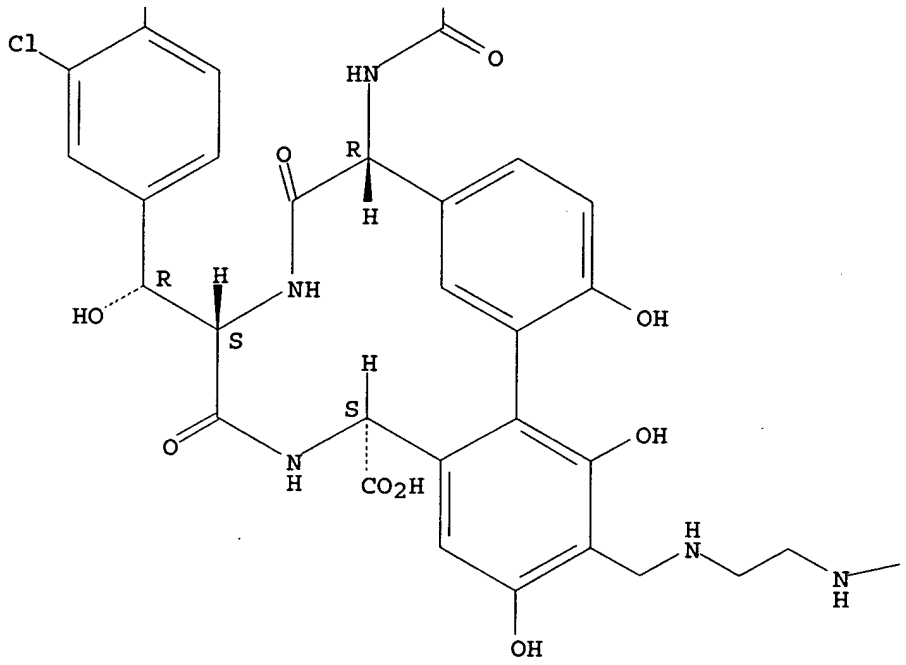

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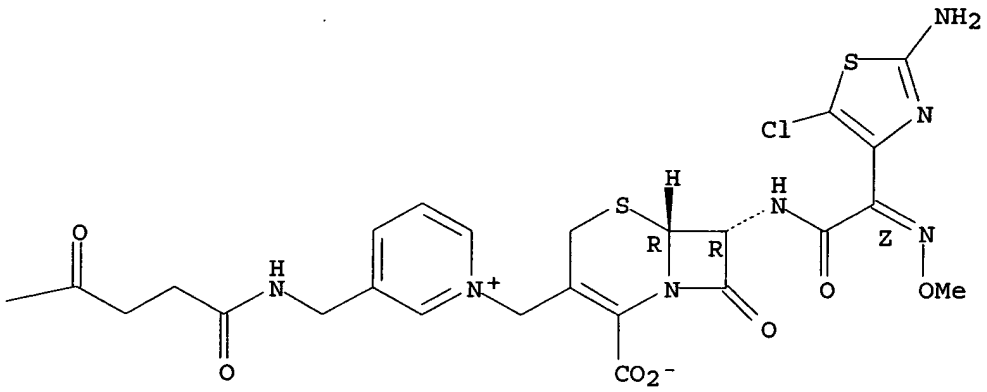

RN 827040-15-3 HCAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.
Double bond geometry as shown.

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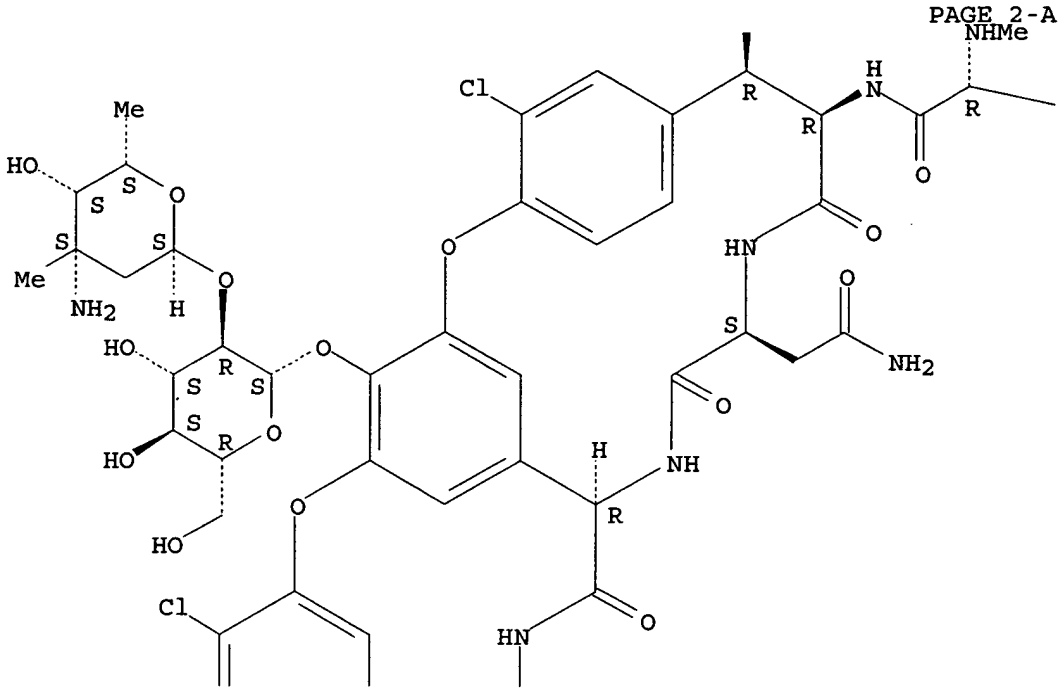
OH


PAGE 2-A
NHMe
Cl
Me
HO
S
O
S
S
S
Me
NH2
H
O
HO
R
S
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S
R
O
HO
HO
O
O
R
H
N
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HN
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S
NH2
O
NH
O
Cl
HN
O

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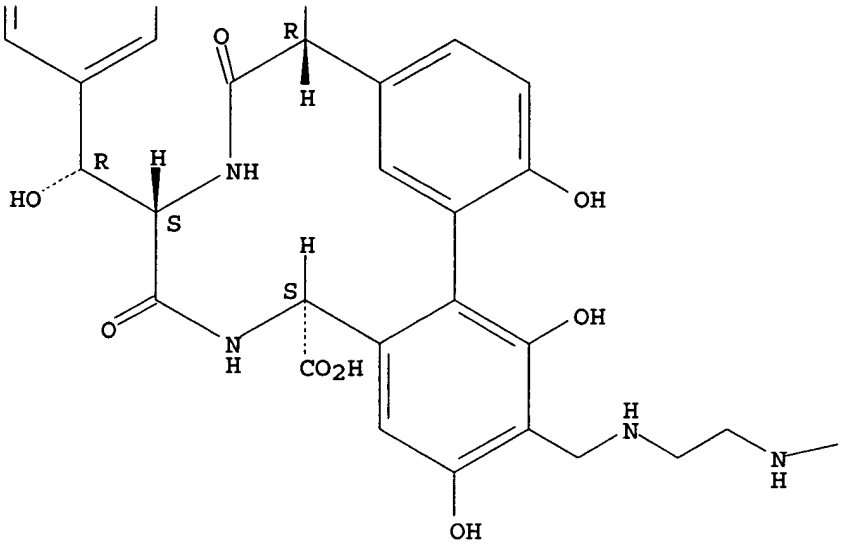

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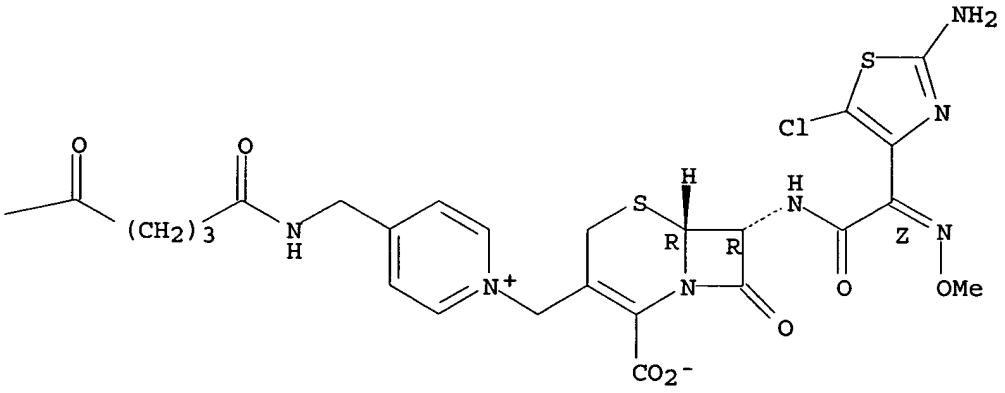

RN 827040-16-4 HCAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.
Double bond geometry as shown.

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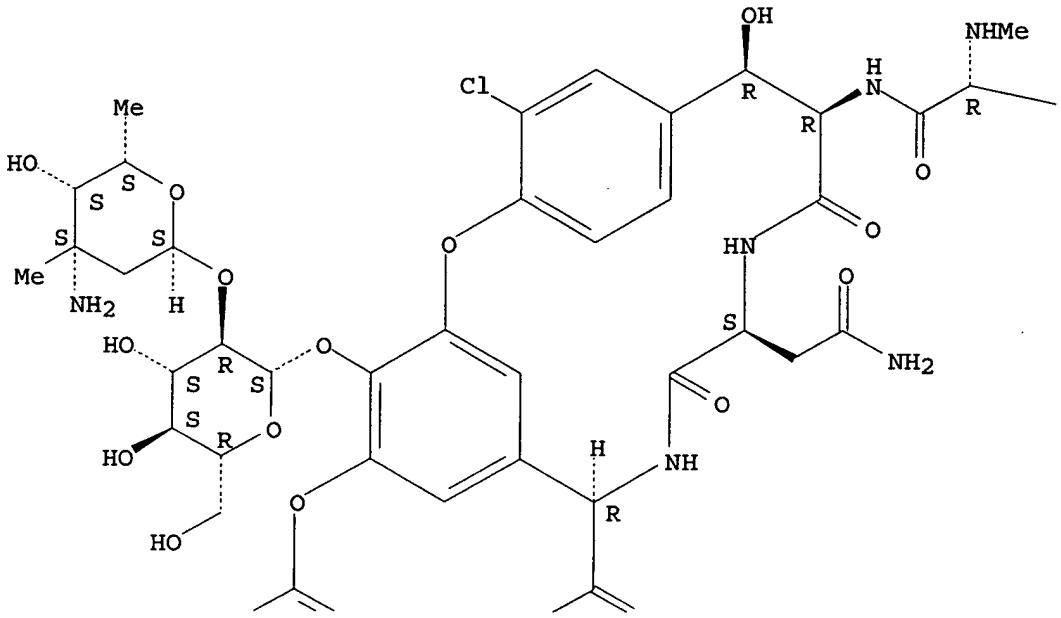

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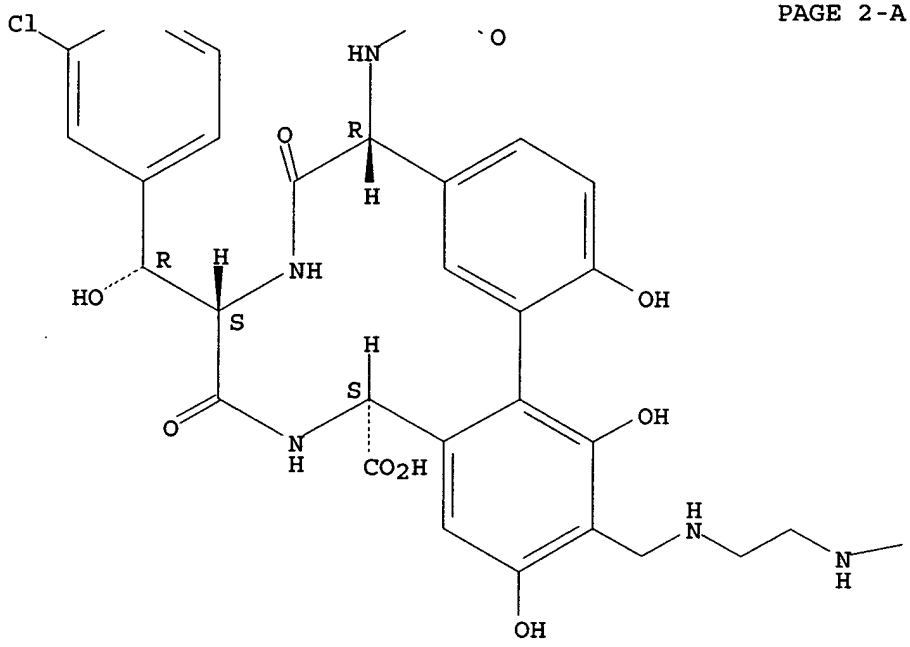

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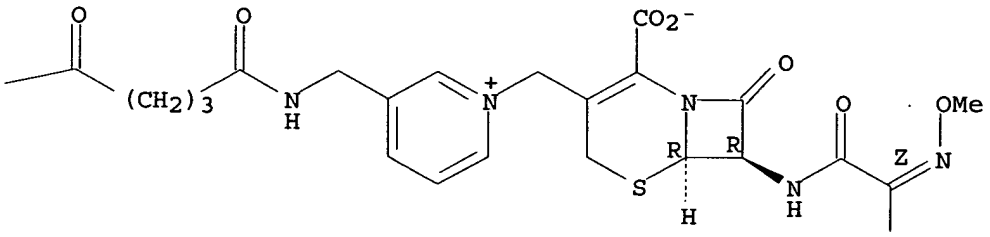

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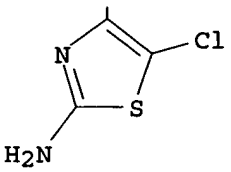

RN 827040-17-5 HCAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.
Double bond geometry as shown.

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OH

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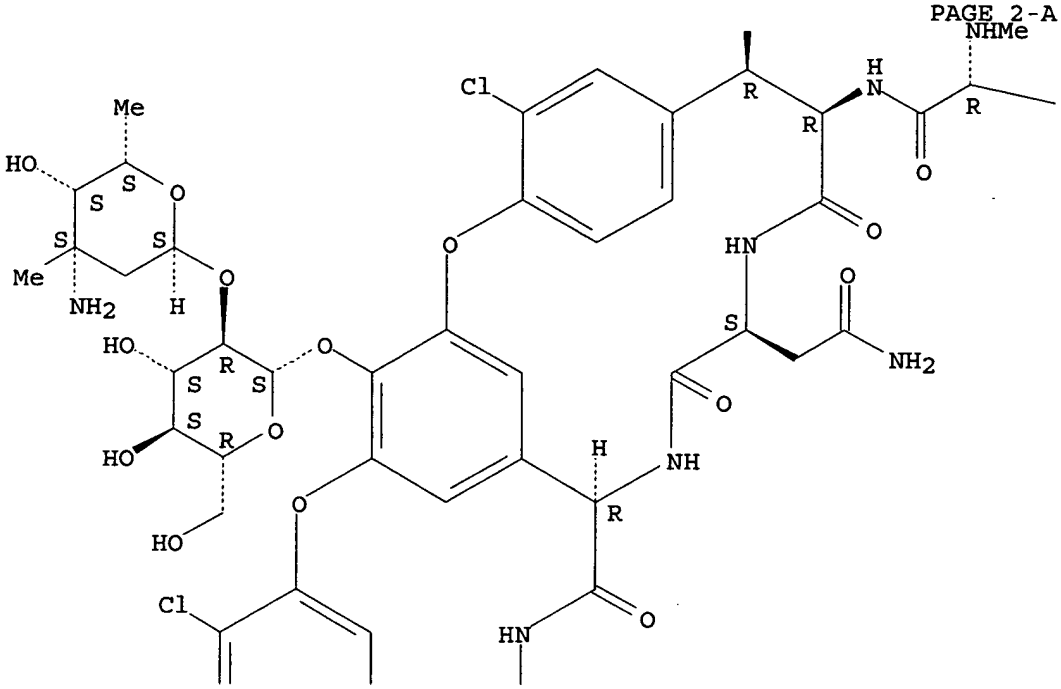

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